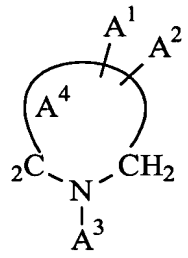
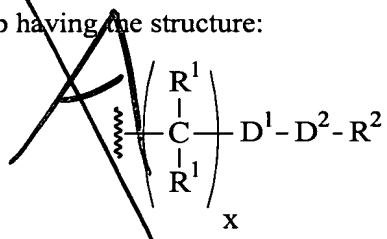
WHAT IS CLAIMED IS:

1. A compound having the structure:



or an optical isomer, diastereomer, enantiomer, or pharmaceutically-acceptable salt, or amide, ester, or imide susceptible to being cleaved *in vivo* by a mammalian subject to yield the compound, wherein:

(a) $A^1$ and $A^2$ are each, independently, selected from the group consisting of a hydrogen atom and a group having the structure:



with the proviso that at $A^1$ and $A^2$ are not both hydrogen atoms, and wherein:

(i) each $R^1$ is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

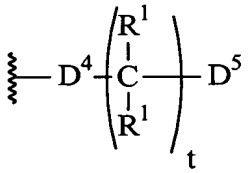
(ii) x is from 0 to about 10;

(iii) $R^2$ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocylclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(iv) $D^1$ and $D^2$ are each independently selected from the group consisting of –C(O)- and $–NR^3$- ; with the proviso that wherein when $D^1$ is $–NR^3$- then $D^2$ is -C(O)-, and wherein when $D^2$ is $–NR^3$- then $D^1$ is –C(O)-; and

(v) $R^3$ is selected from the group consisting of a hydrogen atom and $R^2$; and

(b) $A^3$ has the structure:



wherein:

(i) each $R^1$ is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(ii) t is from 0 to about 6;

(iii) $D^4$ is selected from the group consisting of -C(O)- and $–CH(R^1)$-,

(iv) $D^5$ is selected from the group consisting of $–NHR^6$ and $-OR^6$, and

(v) $R^6$ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group, with the proviso that wherein when:

(a) $A^4$ is a heterocyclic group having 6 member atoms; and

(b) $A^1$ or $A^2$ is hydrogen; and

(c) each $R^1$ is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group; and

(d) each $R^2$ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group;

then $R^6$ is not a quinolyl group; and

(c) $A^4$ is a heterocyclic group having from 4 to 9 member atoms.

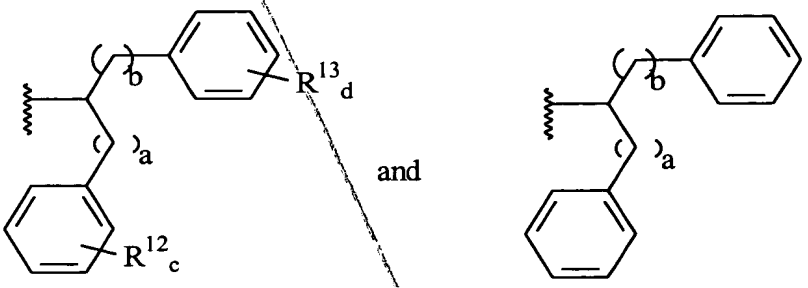
2. The compound according to Claim 1 wherein $A^4$ is a heterocyclic group having 5 or 6 member atoms.

3. The compound according to Claim 2 wherein x is 0 to about 1.

4. The compound according to Claim 3 wherein at least one $R^1$ is selected from the group consisting of a hydrogen atom and a hydroxyl group.

5. The compound according to Claim 4 wherein at least one $R^2$ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

6. The compound according to Claim 5 wherein each $R^2$ is selected from the group consisting of:



and

wherein:

(a) a is at least about 2;

(b) b is at least about 2;

(c) c is about 1 to about 3;

(d) d is about 1 to about 3; and

each $R^{12}$ and $R^{13}$ are each independently selected from the group consisting of hydrocarbon groups and substituted hydrocarbon groups.

7. The compound according to Claim 5 wherein $D^4$ is –C(O)- and t is 0.

8. The compound according to Claim 5 wherein $D^4$ is –C(O)- and $D^5$ is $-O_rR^6$.

9. The compound according to Claim 5 wherein $D^4$ is $-CH(R^1)-$ and $D^5$ is $-O_rR^6$.

10. The compound according to Claim 5 wherein $D^4$ is $-CH(R^1)-$ and $D^5$ is $-NHR^6$.

11. A composition comprising:

(a) the compound according to Claim 1; and

(b) a pharmaceutically acceptable carrier.

12. The composition according to Claim 11 wherein the compound inhibits transport protein activity.

13. A composition comprising:

(a) the compound according to Claim 5; and

(b) a pharmaceutically acceptable carrier.

14. The composition according to Claim 13 wherein the compound inhibits transport protein activity.

15. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 11.

16. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 13.

add A'7